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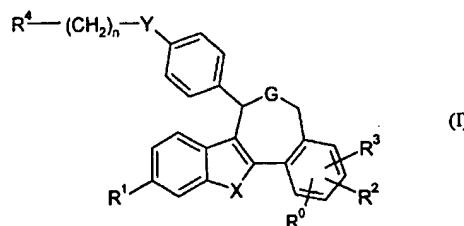
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Declarations under Rule 4.17:

- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC,

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(54) Title: PENTACYCLIC OXEPINES AND DERIVATIVES THEREOF, COMPOSITIONS AND METHODS



(57) Abstract: The present invention provides a compound of the formula (I) wherein R<sup>1</sup> is -H, -OH, -O(C<sub>1</sub>-C<sub>4</sub> alkyl), -OCOC<sub>6</sub>H<sub>5</sub>, -OCO(C<sub>1</sub>-C<sub>6</sub> alkyl), or -OSO<sub>2</sub>(C<sub>2</sub>-C<sub>6</sub> alkyl); R<sup>0</sup>, R<sup>2</sup> and R<sup>3</sup> are each independently -H, -OH, -O(C<sub>1</sub>-C<sub>4</sub> alkyl), -OCOC<sub>6</sub>H<sub>5</sub>, -OCO(C<sub>1</sub>-C<sub>6</sub> alkyl), -OSO<sub>2</sub>(C<sub>2</sub>-C<sub>6</sub> alkyl) or halo; R<sup>4</sup> is 1-piperidinyl, 1-pyrrolidinyl, methyl-1-pyrrolidinyl, dimethyl-1-pyrrolidinyl, 4-morpholino, dimethylamino, diethylamino, diisopropylamino, or 1-hexamethyleneimino; n is 2 or 3 X is -S- or -HC=CH-; G is -O-, -S-, -SO-, or -N(R<sup>5</sup>)-, wherein R<sup>5</sup> is -H or C<sub>1</sub>-C<sub>4</sub> alkyl; and Y is -O-, -S-, -NH-, -NMe-, or -CH<sub>2</sub>-; or a pharmaceutically acceptable salt thereof; pharmaceutical compositions thereof, optionally in combination with estrogen and progestin; methods of inhibiting a disease associated with estrogen deprivation; and methods for inhibiting a disease associated with an aberrant physiological response to endogenous estrogen.

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